

CLAIMS

1. A pharmaceutical composition comprising a solid amorphous dispersion of a cholesteryl ester transfer protein inhibitor and a concentration-enhancing polymer.

2. A pharmaceutical composition comprising a solid amorphous dispersion of a cholesteryl ester transfer protein inhibitor and a concentration-enhancing polymer, said cholesteryl ester transfer protein inhibitor having a solubility in aqueous solution, in the absence of said concentration-enhancing polymer, of less than 10 $\mu\text{g/ml}$ at any pH of from 1 to 8.

3. A pharmaceutical composition comprising a solid amorphous dispersion of a cholesteryl ester transfer protein inhibitor and a concentration-enhancing polymer, said composition providing a maximum concentration of said cholesteryl ester transfer protein inhibitor in a use environment that is at least 10-fold the maximum concentration provided by a control composition comprising an equivalent amount of said cholesteryl ester transfer protein inhibitor and free from said polymer.

4. A pharmaceutical composition comprising a solid amorphous dispersion of a cholesteryl ester transfer protein inhibitor and a polymer, said composition providing a relative bioavailability that is at least 4 relative to a control composition comprising an equivalent amount of said cholesteryl ester transfer protein inhibitor and free from said polymer.

5. The composition of any one of claims 1-4 wherein a major portion of said cholesteryl ester transfer protein inhibitor is amorphous.

6. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is substantially amorphous.

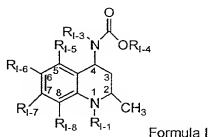
7. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is almost completely amorphous.

8. The composition of any one of claims 1-4 wherein said dispersion is substantially homogeneous.

9. The composition of claim 8 wherein said dispersion has a single glass transition temperature.

10. The composition of any one of claims 1-4 wherein said solid amorphous dispersion is mixed with additional concentration-enhancing polymer.

11. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula I



and pharmaceutically acceptable salts, enantiomers, or stereoisomers of said compounds;

wherein R_{i-1} is hydrogen, Y_i , W_i-X_i , W_i-Y_i ;
 wherein W_i is a carbonyl, thiocarbonyl, sulfinyl or
 sulfonyl;

X_i is $-O-Y_i$, $-S-Y_i$, $-N(H)-Y_i$ or $-N-(Y_i)_2$;

- 5 wherein Y_i for each occurrence is independently Z_i or
 a fully saturated, partially unsaturated or fully
 unsaturated one to ten membered straight or branched
 carbon chain wherein the carbons, other than the
 connecting carbon, may optionally be replaced with one or
 10 two heteroatoms selected independently from oxygen, sulfur
 and nitrogen and said carbon is optionally mono-, di- or
 tri-substituted independently with halo, said carbon is
 optionally mono-substituted with hydroxy, said carbon is
 optionally mono-substituted with oxo, said sulfur is
 15 optionally mono- or di-substituted with oxo, said nitrogen
 is optionally mono-, or di-substituted with oxo, and said
 carbon chain is optionally mono-substituted with Z_i ;

- wherein Z_i is a partially saturated, fully saturated
 or fully unsaturated three to eight membered ring
 20 optionally having one to four heteroatoms selected
 independently from oxygen, sulfur and nitrogen, or, a
 bicyclic ring consisting of two fused partially saturated,
 fully saturated or fully unsaturated three to six membered
 rings, taken independently, optionally having one to four
 25 heteroatoms selected independently from nitrogen, sulfur
 and oxygen;

- wherein said Z_i substituent is optionally mono-, di-
 or tri-substituted independently with halo, $(C_2-$
 $C_6)$ alkenyl, (C_1-C_6) alkyl, hydroxy, (C_1-C_6) alkoxy, $(C_1-$
 $C_6)$ alkylthio, amino, nitro, cyano, oxo, carboxyl, $(C_1-$
 $C_6)$ alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino
 30 wherein said (C_1-C_6) alkyl substituent is optionally mono-,
 di- or tri-substituted independently with halo, hydroxy,
 (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo,
 35 carboxyl, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- $(C_1-$
 $C_6)$ alkylamino, said (C_1-C_6) alkyl substituent is also
 optionally substituted with from one to nine fluorines;

R_{1-3} is hydrogen or Q_1 ;

wherein Q_1 is a fully saturated, partially unsaturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono-, or di-substituted with oxo, and said carbon chain is optionally mono-substituted with V_1 ;

wherein V_1 is a partially saturated, fully saturated or fully unsaturated three to eight membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said V_1 substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_6) alkylthio, amino, nitro, cyano, oxo, carbamoyl, mono-N- or di-N,N- (C_1-C_6) alkylcarbamoyl, carboxyl, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl or (C_2-C_6) alkenyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C_1-C_6) alkoxy, (C_1-C_6) alkylthio, amino, nitro, cyano, oxo, carboxyl, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl or (C_2-C_6) alkenyl substituents are also optionally substituted with from one to nine fluorines;

R_{1-4} is Q_{1-1} or V_{1-1}

wherein Q_{I-1} is a fully saturated, partially unsaturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono-, or di-substituted with oxo, and said carbon chain is optionally mono-substituted with V_{I-1} ;

wherein V_{I-1} is a partially saturated, fully saturated or fully unsaturated three to six membered ring optionally having one to two heteroatoms selected independently from oxygen, sulfur and nitrogen;

wherein said V_{I-1} substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, amino, nitro, cyano, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl substituent is optionally mono-substituted with oxo, said (C_1-C_6) alkyl substituent is also optionally substituted with from one to nine fluorines;

wherein either R_{I-3} must contain V_I or R_{I-4} must contain V_{I-1} ; and R_{I-5} , R_{I-6} , R_{I-7} and R_{I-8} are each independently hydrogen, hydroxy or oxy wherein said oxy is substituted with T_I or a partially saturated, fully saturated or fully unsaturated one to twelve membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one or two heteroatoms selected independently from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is

optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo, and said carbon chain is optionally mono-substituted with T_i;

wherein T_i is a partially saturated, fully saturated or fully unsaturated three to eight membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said T_i substituent is optionally mono-, di- or tri-substituted independently with halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy, (C₁-C₆)alkoxy, (C₁-C₄)alkylthio, amino, nitro, cyano, oxo, carboxy, (C₁-C₆)alkyloxycarbonyl, mono-N- or di-N,N-(C₁-C₆)alkylamino wherein said (C₁-C₆)alkyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C₁-C₆)alkoxy, (C₁-C₄)alkylthio, amino, nitro, cyano, oxo, carboxy, (C₁-C₆)alkyloxycarbonyl, mono-N- or di-N,N-(C₁-C₆)alkylamino, said (C₁-C₆)alkyl substituent is also optionally substituted with from one to nine fluorines.

12. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is selected from the group consisting of

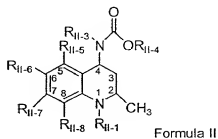
[2R,4S] 4-[(3,5-dichloro-benzyl)-methoxycarbonyl-amino]-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,

[2R,4S] 4-[(3,5-dinitro-benzyl)-methoxycarbonyl-amino]-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,

[2R,4S] 4-[(2,6-dichloro-pyridin-4-ylmethyl)-methoxycarbonyl-amino]-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,

- [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- 5 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6-methoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- 10 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-7-methoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- 15 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,
- 20 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-ethoxycarbonyl-amino]-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- 25 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid 2,2,2-trifluoro-ethyl ester,
- 30 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid propyl ester,
- 35 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester,
- 40 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-methyl-6-trifluoromethoxy-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- 45 [2R,4S] (3,5-bis-trifluoromethyl-benzyl)-(1-butyl-6,7-dimethoxy-2-methyl-1,2,3,4-tetrahydro-quinolin-4-yl)-carbamic acid methyl ester,
- 50 [2R,4S] (3,5-bis-trifluoromethyl-benzyl)-[1-(2-ethyl-butyl)-6,7-dimethoxy-2-methyl-1,2,3,4-tetrahydro-quinolin-4-yl]-carbamic acid methyl ester, hydrochloride.

13. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula II



- 5 and pharmaceutically acceptable salts, enantiomers, or stereoisomers of said compounds;
 wherein R_{II-1} is hydrogen, Y_{II} , $W_{II}-X_{II}$, $W_{II}-Y_{II}$;
 wherein W_{II} is a carbonyl, thiocarbonyl, sulfinyl or sulfonyl;
 10 X_{II} is $-O-Y_{II}$, $-S-Y_{II}$, $-N(H)-Y_{II}$ or $-N-(Y_{II})_2$;
 wherein Y_{II} for each occurrence is independently Z_{II} or a fully saturated, partially unsaturated or fully unsaturated one to ten membered straight or branched carbon chain wherein the carbons, other than the
 15 connecting carbon, may optionally be replaced with one or two heteroatoms selected independently from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is
 20 optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono-, or di-substituted with oxo, and said carbon chain is optionally mono-substituted with Z_{II} ;
 Z_{II} is a partially saturated, fully saturated or
 25 fully unsaturated three to twelve membered ring optionally

having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered rings, taken

- 5 independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said Z_{II} substituent is optionally mono-, di- or tri-substituted independently with halo, (C_2-C_6) alkenyl, (C_1-C_6) alkyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino

- 10 wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with halo, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl is also optionally substituted with from one to nine fluorines;

R_{II-3} is hydrogen or Q_{II} ;

wherein Q_{II} is a fully saturated, partially
 20 unsaturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or
 25 tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo, and said
 30 carbon chain is optionally mono-substituted with V_{II} ;

wherein V_{II} is a partially saturated, fully saturated or fully unsaturated three to twelve membered ring optionally having one to four heteroatoms selected
 35 independently from oxygen, sulfur and nitrogen, or, a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered rings, taken independently, optionally having one to four

heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said V_{II} substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy, (C₁-C₆)alkoxy, (C₁-C₄)alkylthio, amino, nitro, cyano, oxo, carboxamoyl, mono-N- or di-N,N-(C₁-C₆) alkylcarboxamoyl, carboxy, (C₁-C₆)alkyloxycarbonyl, mono-N- or di-N,N-(C₁-C₆)alkylamino wherein said (C₁-C₆)alkyl or (C₂-C₆)alkenyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C₁-C₆)alkoxy, (C₁-C₄)alkylthio, amino, nitro, cyano, oxo, carboxy, (C₁-C₆)alkyloxycarbonyl, mono-N- or di-N,N-(C₁-C₆)alkylamino or said (C₁-C₆)alkyl or (C₂-C₆)alkenyl substituents are optionally substituted with from one to nine fluorines;

R_{II-4} is Q_{II-1} or V_{II-1}

wherein Q_{II-1} a fully saturated, partially unsaturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo, and said carbon chain is optionally mono-substituted with V_{II-1} ;

wherein V_{II-1} is a partially saturated, fully saturated or fully unsaturated three to six membered ring optionally having one to two heteroatoms selected independently from oxygen, sulfur and nitrogen;

wherein said V_{II-1} substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, amino, nitro, cyano, (C₁-C₆)alkyloxycarbonyl, mono-N- or di-N,N-(C₁-C₆)alkylamino wherein said (C₁-C₆)alkyl substituent is optionally mono-

substituted with oxo, said (C₁-C₆)alkyl substituent is optionally substituted with from one to nine fluorines;

wherein either R_{II-3} must contain V_{II} or R_{II-4} must contain V_{II-1}; and

- 5 R_{II-5}, R_{II-6}, R_{II-7} and R_{II-8} are each independently hydrogen, a bond, nitro or halo wherein said bond is substituted with T_{II} or a partially saturated, fully saturated or fully unsaturated (C₁-C₁₂) straight or branched carbon chain wherein carbon may optionally be replaced with one
10 or two heteroatoms selected independently from oxygen, sulfur and nitrogen wherein said carbon atoms are optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with
15 oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo, and said carbon is optionally mono-substituted with T_{II};

- wherein T_{II} is a partially saturated, fully saturated
20 or fully unsaturated three to twelve membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or, a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered
25 rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

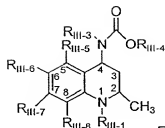
- wherein said T_{II} substituent is optionally mono-, di- or tri-substituted independently with halo, (C₁-C₆)alkyl,
30 (C₂-C₆)alkenyl, hydroxy, (C₁-C₆)alkoxy, (C₁-C₄)alkylthio, amino, nitro, cyano, oxo, carboxy, (C₁-C₆)alkyloxycarbonyl, mono-N- or di-N,N-(C₁-C₆)alkylamino wherein said (C₁-C₆)alkyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C₁-
35 C₆)alkoxy, (C₁-C₄)alkylthio, amino, nitro, cyano, oxo, carboxy, (C₁-C₆)alkyloxycarbonyl, mono-N- or di-N,N-(C₁-

C₆)alkylamino, said (C₁-C₆)alkyl substituent is also optionally substituted with from one to nine fluorines; provided that at least one of substituents R_{II-5}, R_{II-6}, R_{II-7} and R_{II-8} is not hydrogen and is not linked to the quinoline moiety through oxy.

14. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is selected from the group consisting of

- 10 [2R,4S] 4-[(3,5-Bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-methyl-7-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- 15 [2R,4S] 4-[(3,5-Bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-7-chloro-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- 20 [2R,4S] 4-[(3,5-Bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6-chloro-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- 25 [2R,4S] 4-[(3,5-Bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2,6,7-trimethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester
- 30 [2R,4S] 4-[(3,5-Bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6,7-diethyl-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- 35 [2R,4S] 4-[(3,5-Bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-methyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester, and
- 40 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-methyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester.

45 15. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula III



Formula III

and pharmaceutically acceptable salts, enantiomers, or stereoisomers of said compounds;

wherein R_{III-1} is hydrogen, Y_{III} , $W_{III}-X_{III}$, $W_{III}-Y_{III}$;

5 wherein W_{III} is a carbonyl, thiocarbonyl, sulfinyl or sulfonyl;

X_{III} is $-O-Y_{III}$, $-S-Y_{III}$, $-N(H)-Y_{III}$ or $-N-(Y_{III})_2$;

Y_{III} for each occurrence is independently Z_{III} or a fully saturated, partially unsaturated or fully

10 unsaturated one to ten membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one or two heteroatoms selected independently from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or

15 tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono-, or di-substituted with oxo, and said

20 carbon chain is optionally mono-substituted with Z_{III} ;

wherein Z_{III} is a partially saturated, fully saturated or fully unsaturated three to twelve membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a

25 bicyclic ring consisting of two fused partially saturated,

fully saturated or fully unsaturated three to six membered rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

- 5 wherein said Z_{III} substituent is optionally mono-, di- or tri-substituted independently with halo, (C_2-C_6) alkenyl, (C_1-C_6) alkyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino
- 10 wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with halo, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl optionally substituted
- 15 with from one to nine fluorines;
 R_{III-3} is hydrogen or Q_{III} ;

- wherein Q_{III} is a fully saturated, partially unsaturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be
- 20 replaced with one heteroatom selected from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is
- 25 optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo, and said carbon chain is optionally mono-substituted with V_{III} ;
- wherein V_{III} is a partially saturated, fully saturated
- 30 or fully unsaturated three to twelve membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered
- 35 rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said V_{III} substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxamoyl, mono-
 5 N- or di-N,N- (C_1-C_6) alkylcarboxamoyl, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl or (C_2-C_6) alkenyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino,
 10 nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino or said (C_1-C_6) alkyl or (C_2-C_6) alkenyl are optionally substituted with from one to nine fluorines;

R_{III-4} is Q_{III-1} or V_{III-1} ;

15 wherein Q_{III-1} a fully saturated, partially unsaturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected from oxygen, sulfur and nitrogen and
 20 said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono-
 25 or di-substituted with oxo, and said carbon chain is optionally mono-substituted with V_{III-1} ;

wherein V_{III-1} is a partially saturated, fully saturated or fully unsaturated three to six membered ring
 30 optionally having one to two heteroatoms selected independently from oxygen, sulfur and nitrogen;

wherein said $V_{I,-1}$ substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, amino, nitro, cyano, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino
 35 wherein said (C_1-C_6) alkyl substituent is optionally mono-

substituted with oxo, said (C₁-C₆)alkyl substituent optionally having from one to nine fluorines;

wherein either R_{III-3} must contain V_{III} or R_{III-4} must contain V_{III-1}; and

5 R_{III-5} and R_{III-6}, or R_{III-6} and R_{III-7}, and/or R_{III-7} and R_{III-8} are taken together and form at least one four to eight membered ring that is partially saturated or fully unsaturated optionally having one to three heteroatoms independently selected from nitrogen, sulfur and oxygen;

10 wherein said ring or rings formed by R_{III-5} and R_{III-6}, or R_{III-6} and R_{III-7}, and/or R_{III-7} and R_{III-8} are optionally mono-, di- or tri-substituted independently with halo, (C₁-C₆)alkyl, (C₁-C₄)alkylsulfonyl, (C₂-C₆)alkenyl, hydroxy, (C₁-C₆)alkoxy, (C₁-C₄)alkylthio, amino, nitro, cyano, oxo,
 15 carboxy, (C₁-C₆)alkyloxycarbonyl, mono-N- or di-N,N-(C₁-C₆)alkylamino wherein said (C₁-C₆)alkyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C₁-C₆)alkoxy, (C₁-C₄)alkylthio, amino, nitro, cyano, oxo, carboxy, (C₁-C₆)alkyloxycarbonyl, mono-
 20 N- or di-N,N-(C₁-C₆)alkylamino, said (C₁-C₆)alkyl substituent optionally having from one to nine fluorines; provided that the R_{III-5}, R_{III-6}, R_{III-7} and/or R_{III-8}, as the case may be, that do not form at least one ring are each independently hydrogen, halo, (C₁-C₆)alkoxy or (C₁-
 25 C₆)alkyl, said (C₁-C₆)alkyl optionally having from one to nine fluorines.

16. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor
 30 is selected from the group consisting of

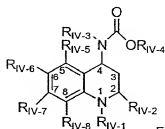
[2R, 4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-methyl-2,3,4,6,7,8-hexahydro-cyclopenta[g]quinoline-1-carboxylic acid ethyl ester,

35 [6R, 8S] 8-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6-methyl-3,6,7,8-tetrahydro-1H-2-thia-5-aza-cyclopenta[b]naphthalene-5-carboxylic acid ethylester,

40

- [6R, 8S] 8-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6-methyl-3,6,7,8-tetrahydro-2H-furo[2,3-g]quinoline-5-carboxylic acid ethyl ester,
- [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-methyl-3,4,6,8-tetrahydro-2H-furo[3,4-g]quinoline-1-carboxylic acid ethyl ester,
- [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-methyl-3,4,6,7,8,9-hexahydro-2H-benzo[g]quinoline-1-carboxylic acid propyl ester,
- [7R,9S] 9-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-7-methyl-1,2,3,7,8,9-hexahydro-6-aza-cyclopenta[a]naphthalene-6-carboxylic acid ethyl ester, and
- [6S,8R] 6-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-8-methyl-1,2,3,6,7,8-hexahydro-9-aza-cyclopenta[a]naphthalene-9-carboxylic acid ethyl ester.

17. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula IV



Formula IV

and pharmaceutically acceptable salts, enantiomers, or stereoisomers of said compounds;

wherein R_{IV-1} is hydrogen, Y_{IV} , $W_{IV}-X_{IV}$ or $W_{IV}-Y_{IV}$;

wherein W_{IV} is a carbonyl, thiocarbonyl, sulfinyl or sulfonyl;

X_{IV} is $-O-Y_{IV}$, $-S-Y_{IV}$, $-N(H)-Y_{IV}$ or $-N-(Y_{IV})_2$;

- wherein Y_{IV} for each occurrence is independently Z_{IV}
 5 or a fully saturated, partially unsaturated or fully unsaturated one to ten membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one or two heteroatoms selected independently from oxygen, sulfur
 10 and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen
 15 is optionally mono-, or di-substituted with oxo, and said carbon chain is optionally mono-substituted with Z_{IV} ;

- wherein Z_{IV} is a partially saturated, fully saturated or fully unsaturated three to eight membered ring optionally having one to four heteroatoms selected
 20 independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur
 25 and oxygen;

- wherein said Z_{IV} substituent is optionally mono-, di- or tri-substituted independently with halo, (C_2-C_6) alkenyl, (C_1-C_6) alkyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_6) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino
 30 wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with halo, hydroxy, (C_1-C_6) alkoxy, (C_1-C_6) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl substituent is also
 35 optionally substituted with from one to nine fluorines;

R_{IV-2} is a partially saturated, fully saturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one or two heteroatoms selected independently from oxygen, sulfur and nitrogen wherein said carbon atoms are optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with oxo, said carbon is optionally mono-substituted with hydroxy, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo; or said R_{IV-2} is a partially saturated, fully saturated or fully unsaturated three to seven membered ring optionally having one to two heteroatoms selected independently from oxygen, sulfur and nitrogen, wherein said R_{IV-2} ring is optionally attached through (C_1-C_4) alkyl; wherein said R_{IV-2} ring is optionally mono-, di- or tri-substituted independently with halo, (C_2-C_6) alkenyl, (C_1-C_6) alkyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with halo, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, oxo or (C_1-C_6) alkyloxycarbonyl; with the proviso that R_{IV-2} is not methyl; R_{IV-3} is hydrogen or Q_{IV} ; wherein Q_{IV} is a fully saturated, partially unsaturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons other than the connecting carbon, may optionally be replaced with one heteroatom selected from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen

is optionally mono- or di-substituted with oxo, and said carbon chain is optionally mono-substituted with V_{IV} ;

wherein V_{IV} is a partially saturated, fully saturated or fully unsaturated three to eight membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said V_{IV} substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxamoyl, mono-N- or di-N,N- (C_1-C_6) alkylcarboxamoyl, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl or (C_2-C_6) alkenyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl or (C_2-C_6) alkenyl substituents are also optionally substituted with from one to nine fluorines;

R_{IV-4} is Q_{IV-1} or V_{IV-1} ;

wherein Q_{IV-1} a fully saturated, partially unsaturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo, and said carbon chain is optionally mono-substituted with

V_{IV-1};

wherein V_{IV-1} is a partially saturated, fully saturated or fully unsaturated three to six membered ring optionally having one to two heteroatoms selected independently from oxygen, sulfur and nitrogen;

wherein said V_{IV-1} substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, amino, nitro, cyano, (C₁-C₆)alkyloxycarbonyl, mono-N- or di-N,N-(C₁-C₆)alkylamino wherein said (C₁-C₆)alkyl substituent is optionally mono-substituted with oxo, said (C₁-C₆)alkyl substituent is also optionally substituted with from one to nine fluorines;

wherein either R_{IV-3} must contain V_{IV} or R_{IV-4} must contain V_{IV-1};

R_{IV-5}, R_{IV-6}, R_{IV-7} and R_{IV-8} are each independently hydrogen, a bond, nitro or halo wherein said bond is substituted with T_{IV} or a partially saturated, fully saturated or fully unsaturated (C₁-C₁₂) straight or branched carbon chain wherein carbon, may optionally be replaced with one or two heteroatoms selected independently from oxygen, sulfur and nitrogen wherein said carbon atoms are optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo, and said carbon is optionally mono-substituted with T_{IV};

wherein T_{IV} is a partially saturated, fully saturated or fully unsaturated three to eight membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or, a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered rings, taken independently, optionally having one to four

heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said T_{IV} substituent is optionally mono-, di- or tri-substituted independently with halo, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl substituent is also optionally substituted with from one to nine fluorines; and

wherein R_{IV-5} and R_{IV-6} , or R_{IV-6} and R_{IV-7} , and/or R_{IV-7} and R_{IV-8} may also be taken together and can form at least one four to eight membered ring that is partially saturated or fully unsaturated optionally having one to three heteroatoms independently selected from nitrogen, sulfur and oxygen;

wherein said ring or rings formed by R_{IV-5} and R_{IV-6} , or R_{IV-6} and R_{IV-7} , and/or R_{IV-7} and R_{IV-8} are optionally mono-, di- or tri-substituted independently with halo, (C_1-C_6) alkyl, (C_1-C_4) alkylsulfonyl, (C_2-C_6) alkenyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl substituent is also optionally substituted with from one to nine fluorines;

with the proviso that when R_{IV-2} is carboxyl or (C_1-C_4) alkylcarboxyl, then R_{IV-1} is not hydrogen.

18. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is selected from the group consisting of

5 [2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-isopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,

10 [2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-6-chloro-2-cyclopropyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,

15 [2S,4S] 2-cyclopropyl-4-[(3,5-dichloro-benzyl)-methoxycarbonyl-amino]-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,

20 [2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester,

25 [2R,4R] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester;

30 [2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,

35 [2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-cyclobutyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,

40 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,

45 [2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-methoxymethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester.

50 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid 2-hydroxyethyl ester,

[2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-cyclopropyl-6-

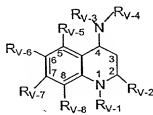
trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,

5 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,

10 [2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid propyl ester, and

15 [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-methoxycarbonyl-amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid propyl ester.

19. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor
20 has the structure of Formula V



Formula V

and pharmaceutically acceptable salts, enantiomers, or stereoisomers of said compounds;

wherein R_{v-1} is Y_v , W_v-X_v or W_v-Y_v ;

25 wherein W_v is a carbonyl, thiocarbonyl, sulfinyl or sulfonyl;

X_v is $-O-Y_v$, $-S-Y_v$, $-N(H)-Y_v$ or $-N-(Y_v)_2$;

wherein Y_v for each occurrence is independently Z_v or a fully saturated, partially unsaturated or fully

30 unsaturated one to ten membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one or

two heteroatoms selected independently from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is
 5 optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono-, or di-substituted with oxo, and said carbon chain is optionally mono-substituted with Z_v ;

wherein Z_v is a partially saturated, fully saturated
 10 or fully unsaturated three to eight membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered
 15 rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said Z_v substituent is optionally mono-, di- or tri-substituted independently with halo, $(C_2-$
 20 $C_6)$ alkenyl, (C_1-C_6) alkyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with halo, hydroxy,
 25 (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl substituent is also optionally substituted with from one to nine fluorines;

R_{v-2} is a partially saturated, fully saturated or
 30 fully unsaturated one to six membered straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one or two heteroatoms selected independently from oxygen, sulfur and nitrogen wherein said carbon atoms are optionally
 35 mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with oxo, said carbon is optionally mono-substituted with hydroxy, said

sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo; or said R_{V-2} is a partially saturated, fully saturated or fully unsaturated three to seven membered ring

- 5 optionally having one to two heteroatoms selected independently from oxygen, sulfur and nitrogen, wherein said R_{V-2} ring is optionally attached through (C_1-C_4) alkyl;

- wherein said R_{V-2} ring is optionally mono-, di- or tri-substituted independently with halo, (C_2-C_6) alkenyl, 10 (C_1-C_6) alkyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with halo, hydroxy, 15 (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, oxo or (C_1-C_6) alkyloxycarbonyl; R_{V-3} is hydrogen or Q_V ;

- wherein Q_V is a fully saturated, partially unsaturated or fully unsaturated one to six membered 20 straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is 25 optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono-, or di-substituted with oxo, and said carbon chain is optionally mono-substituted with V_V ;

- 30 wherein V_V is a partially saturated, fully saturated or fully unsaturated three to eight membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, 35 fully saturated or fully unsaturated three to six membered rings, taken independently, optionally having one to four

heteroatoms selected independently from nitrogen, sulfur and oxygen;

- wherein said V_v substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxamoyl, mono-N- or di-N,N- (C_1-C_6) alkylcarboxamoyl, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl or (C_2-C_6) alkenyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl or (C_2-C_6) alkenyl substituents are also optionally substituted with from one to nine fluorines;

R_{v-4} is cyano, formyl, $W_{v-1}Q_{v-1}$, $W_{v-1}V_{v-1}$, (C_1-C_4) alkylene V_{v-1} or V_{v-2} ;

wherein W_{v-1} is carbonyl, thiocarbonyl, SO or SO_2 ,

- wherein Q_{v-1} a fully saturated, partially unsaturated or fully unsaturated one to six membered straight or branched carbon chain wherein the carbons may optionally be replaced with one heteroatom selected from oxygen, sulfur and nitrogen and said carbon is optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono-, or di-substituted with oxo, and said carbon chain is optionally mono-substituted with V_{v-1} ;

- wherein V_{v-1} is a partially saturated, fully saturated or fully unsaturated three to six membered ring optionally having one to two heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered rings, taken

independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said V_{v-1} substituent is optionally mono-, di-, tri-, or tetra-substituted independently with halo, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, hydroxy, oxo, amino, nitro, cyano, (C_1-C_6) alkyloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl substituent is optionally mono-substituted with oxo, said (C_1-C_6) alkyl substituent is also optionally substituted with from one to nine fluorines;

wherein V_{v-2} is a partially saturated, fully saturated or fully unsaturated five to seven membered ring containing one to four heteroatoms selected independently from oxygen, sulfur and nitrogen;

wherein said V_{v-2} substituent is optionally mono-, di- or tri-substituted independently with halo, (C_1-C_2) alkyl, (C_1-C_2) alkoxy, hydroxy, or oxo wherein said (C_1-C_2) alkyl optionally has from one to five fluorines; and

wherein R_{v-4} does not include oxycarbonyl linked directly to the C^4 nitrogen;

wherein either R_{v-3} must contain V_v or R_{v-4} must contain V_{v-1} ;

R_{v-5} , R_{v-6} , R_{v-7} and R_{v-8} are independently hydrogen, a bond, nitro or halo wherein said bond is substituted with T_v or a partially saturated, fully saturated or fully unsaturated (C_1-C_{12}) straight or branched carbon chain wherein carbon may optionally be replaced with one or two heteroatoms selected independently from oxygen, sulfur and nitrogen, wherein said carbon atoms are optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with hydroxy, said carbon is optionally mono-substituted with oxo, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo, and said carbon chain is optionally mono-substituted with T_v ;

wherein T_v is a partially saturated, fully saturated or fully unsaturated three to twelve membered ring optionally having one to four heteroatoms selected independently from oxygen, sulfur and nitrogen, or a bicyclic ring consisting of two fused partially saturated, fully saturated or fully unsaturated three to six membered rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen;

wherein said T_v substituent is optionally mono-, di- or tri-substituted independently with halo, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, $(C_1-$

$C_6)$ alkylloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkylloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino, said (C_1-C_6) alkyl substituent also

optionally has from one to nine fluorines;

wherein R_{v-5} and R_{v-6} , or R_{v-6} and R_{v-7} , and/or R_{v-7} and R_{v-8} may also be taken together and can form at least one ring that is a partially saturated or fully unsaturated four to eight membered ring optionally having one to three heteroatoms independently selected from nitrogen, sulfur and oxygen;

wherein said rings formed by R_{v-5} and R_{v-6} , or R_{v-6} and R_{v-7} , and/or R_{v-7} and R_{v-8} are optionally mono-, di- or tri-substituted independently with halo, (C_1-C_6) alkyl, (C_1-C_4) alkylsulfonyl, (C_2-C_6) alkenyl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkylloxycarbonyl, mono-N- or di-N,N- (C_1-C_6) alkylamino wherein said (C_1-C_6) alkyl substituent is optionally mono-, di- or tri-substituted independently with hydroxy, (C_1-C_6) alkoxy, (C_1-C_4) alkylthio, amino, nitro, cyano, oxo, carboxy, (C_1-C_6) alkylloxycarbonyl, mono-N- or di-N,N- $(C_1-$

C₆)alkylamino, said (C₁-C₆)alkyl substituent also optionally has from one to nine fluorines.

20. The composition of any one of claims 1-4
- wherein said cholesteryl ester transfer protein inhibitor is selected from the group consisting of
- 2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-formyl-amino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,
- [2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-formyl-amino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid propyl ester,
- [2S,4S] 4-[acetyl-(3,5-bis-trifluoromethyl-benzyl)-amino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester,
- [2R,4S] 4-[acetyl-(3,5-bis-trifluoromethyl-benzyl)-amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,
- [2R,4S] 4-[acetyl-(3,5-bis-trifluoromethyl-benzyl)-amino]-2-methyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- [2S,4S] 4-[1-(3,5-bis-trifluoromethyl-benzyl)-ureido]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,
- [2R,4S] 4-[acetyl-(3,5-bis-trifluoromethyl-benzyl)-amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- [2S,4S] 4-[acetyl-(3,5-bis-trifluoromethyl-benzyl)-amino]-2-methoxymethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,
- [2S,4S] 4-[acetyl-(3,5-bis-trifluoromethyl-benzyl)-amino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid propyl ester,
- [2S,4S] 4-[acetyl-(3,5-bis-trifluoromethyl-benzyl)-amino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,
- [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-formyl-amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid isopropyl ester,
- [2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-formyl-amino]-2-methyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester,

[2S,4S] 4-[acetyl-(3,5-bis-trifluoromethyl-benzyl)-amino]-
2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-
quinoline-1-carboxylic acid isopropyl ester,

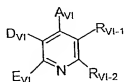
[2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-formyl-amino]-
2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-
carboxylic acid ethyl ester,

[2S,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-formyl-amino]-
2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-
quinoline-1-carboxylic acid ethyl ester,

[2R,4S] 4-[(3,5-bis-trifluoromethyl-benzyl)-formyl-amino]-
2-methyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-
1-carboxylic acid isopropyl ester, and

[2R,4S] 4-[acetyl-(3,5-bis-trifluoromethyl-benzyl)-amino]-
2-methyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-
1-carboxylic acid isopropyl ester.

21. The composition of any one of claims 1-4
wherein said cholesteryl ester transfer protein inhibitor
has the structure of Formula VI



Formula VI

and pharmaceutically acceptable salts, enantiomers, or
stereoisomers of said compounds;
in which

A_{VI} denotes an aryl containing 6 to 10 carbon atoms,
which is optionally substituted with up to five identical
or different substituents in the form of a halogen, nitro,
hydroxyl, trifluoromethyl, trifluoromethoxy or a straight-
chain or branched alkyl, acyl, hydroxyalkyl or alkoxy
containing up to 7 carbon atoms each, or in the form of a
group according to the formula --NR_{VI-1}-R_{VI-4}, wherein

R_{VI-3} and R_{VI-4} are identical or different and denote a hydrogen, phenyl or a straight-chain or branched alkyl containing up to 6 carbon atoms,

- 5 D_{VI} denotes an aryl containing 6 to 10 carbon atoms, which is optionally substituted with a phenyl, nitro, halogen, trifluoromethyl or trifluoromethoxy, or a radical according to the formula $R_{VI-5}-L_{VI}-$,



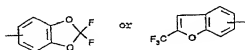
or $R_{VI-9}-T_{VI}-V_{VI}-X_{VI}$, wherein

- 10 R_{VI-5} , R_{VI-6} and R_{VI-9} denote, independently from one another, a cycloalkyl containing 3 to 6 carbon atoms, or an aryl containing 6 to 10 carbon atom or a 5- to 7-membered, optionally benzo-condensed, saturated or unsaturated, mono-, bi- or tricyclic heterocycle
- 15 containing up to 4 heteroatoms from the series of S, N and/or O, wherein the rings are optionally substituted, in the case of the nitrogen-containing rings also via the N function, with up to five identical or different substituents in the form of a halogen, trifluoromethyl, nitro, hydroxyl, cyano, carboxyl, trifluoromethoxy, a
- 20 straight-chain or branched acyl, alkyl, alkylthio, alkylalkoxy, alkoxy or alkoxycarbonyl containing up to 6 carbon atoms each, an aryl or trifluoromethyl-substituted aryl containing 6 to 10 carbon atoms each, or an
- 25 optionally benzo-condensed, aromatic 5- to 7-membered heterocycle containing up to 3 heteroatoms from the series of S, N and/or O, and/or in the form of a group according to the formula $-OR_{VI-10}$, $-SR_{VI-11}$, $-SO_2R_{VI-12}$ or $-NR_{VI-13}R_{VI-14}$, wherein
- 30 R_{VI-10} , R_{VI-11} and R_{VI-12} denote, independently from one another, an aryl containing 6 to 10 carbon atoms, which is in turn substituted with up to two identical or different substituents in the form of a phenyl, halogen or a

straight-chain or branched alkyl containing up to 6 carbon atoms,

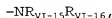
R_{VI-13} and R_{VI-14} are identical or different and have the meaning of R_{VI-3} and R_{VI-4} given above, or

- 5 R_{VI-5} and/or R_{VI-6} denote a radical according to the formula



R_{VI-7} denotes a hydrogen or halogen, and

- 10 R_{VI-8} denotes a hydrogen, halogen, azido, trifluoromethyl, hydroxyl, trifluoromethoxy, a straight-chain or branched alkoxy or alkyl containing up to 6 carbon atoms each, or a radical according to the formula



wherein

- 15 R_{VI-15} and R_{VI-16} are identical or different and have the meaning of R_{VI-3} and R_{VI-4} given above, or

R_{VI-7} and R_{VI-8} together form a radical according to the formula $=O$ or $=NR_{VI-17}$, wherein

- 20 R_{VI-17} denotes a hydrogen or a straight-chain or branched alkyl, alkoxy or acyl containing up to 6 carbon atoms each,

- 25 L_{VI} denotes a straight-chain or branched alkylene or alkenylene chain containing up to 8 carbon atoms each, which are optionally substituted with up to two hydroxyl groups,

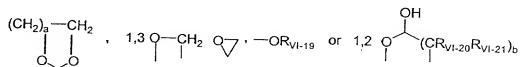
T_{VI} and X_{VI} are identical or different and denote a straight-chain or branched alkylene chain containing up to 8 carbon atoms, or

- 30 T_{VI} or X_{VI} denotes a bond,
 V_{VI} denotes an oxygen or sulfur atom or an $-NR_{VI-18}$ group, wherein

R_{VI-18} denotes a hydrogen or a straight-chain or branched alkyl containing up to 6 carbon atoms or a phenyl,

E_{VI} denotes a cycloalkyl containing 3 to 8 carbon atoms, or a straight-chain or branched alkyl containing up to 8 carbon atoms, which is optionally substituted with a cycloalkyl containing 3 to 8 carbon atoms or a hydroxyl, or a phenyl, which is optionally substituted with a halogen or trifluoromethyl,

R_{VI-1} and R_{VI-2} together form a straight-chain or branched alkylene chain containing up to 7 carbon atoms, which must be substituted with a carbonyl group and/or a radical according to the formula



wherein

a and b are identical or different and denote a number equaling 1, 2 or 3,

R_{VI-19} denotes a hydrogen atom, a cycloalkyl containing 3 to 7 carbon atoms, a straight-chain or branched silylalkyl containing up to 8 carbon atoms, or a straight-chain or branched alkyl containing up to 8 carbon atoms, which is optionally substituted with a hydroxyl, a straight-chain or a branched alkoxy containing up to 6 carbon atoms or a phenyl, which may in turn be substituted with a halogen, nitro, trifluoromethyl, trifluoromethoxy or phenyl or tetrazole-substituted phenyl, and an alkyl that is optionally substituted with a group according to the formula $-OR_{VI-22}$, wherein

R_{VI-22} denotes a straight-chain or branched acyl containing up to 4 carbon atoms or benzyl, or

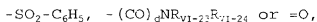
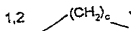
R_{VI-19} denotes a straight-chain or branched acyl containing up to 20 carbon atoms or benzoyl, which is optionally substituted with a halogen, trifluoromethyl,

nitro or trifluoromethoxy, or a straight-chain or branched fluoroacyl containing up to 8 carbon atoms,

R_{VI-20} and R_{VI-21} are identical or different and denote a hydrogen, phenyl or a straight-chain or branched alkyl

containing up to 6 carbon atoms, or

R_{VI-20} and R_{VI-21} together form a 3- to 6-membered carbocyclic ring, and a the carbocyclic rings formed are optionally substituted, optionally also geminally, with up to six identical or different substituents in the form of trifluoromethyl, hydroxyl, nitrile, halogen, carboxyl, nitro, azido, cyano, cycloalkyl or cycloalkyloxy containing 3 to 7 carbon atoms each, a straight-chain or branched alkoxy carbonyl, alkoxy or alkylthio containing up to 6 carbon atoms each, or a straight-chain or branched alkyl containing up to 6 carbon atoms, which is in turn substituted with up to two identical or different substituents in the form of a hydroxyl, benzyloxy, trifluoromethyl, benzoyl, a straight-chain or branched alkoxy, oxyacyl or carboxyl containing up to 4 carbon atoms each and/or a phenyl, which may in turn be substituted with a halogen, trifluoromethyl or trifluoromethoxy, and/or the carbocyclic rings formed are optionally substituted, also geminally, with up to five identical or different substituents in the form of a phenyl, benzoyl, thiophenyl or sulfonylbenzyl, which in turn are optionally substituted with a halogen, trifluoromethyl, trifluoromethoxy or nitro, and/or optionally in the form of a radical according to the formula

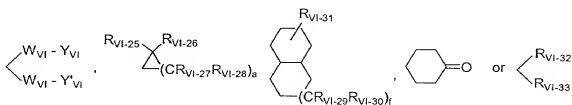


wherein

c is a number equaling 1, 2, 3 or 4,

d is a number equaling 0 or 1,

R_{VI-23} and R_{VI-24} are identical or different and denote a hydrogen, cycloalkyl containing 3 to 6 carbon atoms, a straight-chain or branched alkyl containing up to 6 carbon atoms, benzyl or phenyl, which is optionally substituted with up to two identical or different substituents in the form of halogen, trifluoromethyl, cyano, phenyl or nitro, and/or the carbocyclic rings formed are optionally substituted with a spiro-linked radical according to the formula



wherein

W_{VI} denotes either an oxygen atom or a sulfur atom,

Y_{VI} and Y'_{VI} together form a 2- to 6-membered straight-chain or branched alkylene chain,

e is a number equaling 1, 2, 3, 4, 5, 6 or 7,

f is a number equaling 1 or 2,

R_{VI-25} , R_{VI-26} , R_{VI-27} , R_{VI-28} , R_{VI-29} , R_{VI-30} and R_{VI-31} are identical or different and denote a hydrogen, trifluoromethyl, phenyl, halogen or a straight-chain or branched alkyl or alkoxy containing up to 6 carbon atoms each, or

R_{VI-25} and R_{VI-26} or R_{VI-27} and R_{VI-28} each together denote a straight-chain or branched alkyl chain containing up to 6 carbon atoms or

R_{VI-25} and R_{VI-26} or R_{VI-27} and R_{VI-28} each together form a radical according to the formula



wherein

W_{VI} has the meaning given above,

g is a number equaling 1, 2, 3, 4, 5, 6 or 7,

5 R_{VI-32} and R_{VI-33} together form a 3- to 7-membered heterocycle, which contains an oxygen or sulfur atom or a group according to the formula SO , SO_2 or $-NR_{VI-34}$, wherein

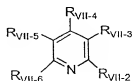
R_{VI-34} denotes a hydrogen atom, a phenyl, benzyl, or a straight-chain or branched alkyl containing up to 4 carbon
10 atoms, and salts and N oxides thereof, with the exception of 5(6H)-quinolones, 3-benzoyl-7,8-dihydro-2,7,7-trimethyl-4-phenyl.

22. The composition of claims 1-4 wherein said
15 cholesteryl ester transfer protein inhibitor is selected from the group consisting of
2-cyclopentyl-4-(4-fluorophenyl)-7,7-dimethyl-3-(4-trifluoromethylbenzoyl)-4,6,7,8-tetrahydro-1H-quinolin-5-one,
20 2-cyclopentyl-4-(4-fluorophenyl)-7,7-dimethyl-3-(4-trifluoromethylbenzoyl)-7,8-dihydro-6H-quinolin-5-one,
25 [2-cyclopentyl-4-(4-fluorophenyl)-5-hydroxy-7,7-dimethyl-5,6,7,8-tetrahydroquinolin-3-yl]-(4-trifluoromethylphenyl)-methanone,
30 [5-(*t*-butyldimethylsilanyloxy)-2-cyclopentyl-4-(4-fluorophenyl)-7,7-dimethyl-5,6,7,8-tetrahydroquinolin-3-yl]-(4-trifluoromethylphenyl)-methanone,
35 [5-(*t*-butyldimethylsilanyloxy)-2-cyclopentyl-4-(4-fluorophenyl)-7,7-dimethyl-5,6,7,8-tetrahydroquinolin-3-yl]-(4-trifluoromethylphenyl)-methanol,
40 5-(*t*-butyldimethylsilanyloxy)-2-cyclopentyl-4-(4-fluorophenyl)-3-[fluoro-(4-trifluoromethylphenyl)-methyl]-7,7-dimethyl-5,6,7,8-tetrahydroquinoline, and

2-cyclopentyl-4-(4-fluorophenyl)-3-[fluoro-(4-trifluoromethylphenyl)-methyl]-7,7-dimethyl-5,6,7,8-tetrahydroquinolin-5-ol.

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23. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula VII



Formula VII

10 or a pharmaceutically acceptable salt, enantiomers, or stereoisomers or tautomer thereof, wherein

R_{VII-2} and R_{VII-6} are independently selected from the group consisting of hydrogen, hydroxy, alkyl, fluorinated alkyl, fluorinated aralkyl, chlorofluorinated alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, alkoxy, alkoxyalkyl, and alkoxycarbonyl; provided that at least one of R_{VII-2} and R_{VII-6} is fluorinated alkyl, chlorofluorinated alkyl or alkoxyalkyl;

20 R_{VII-3} is selected from the group consisting of hydroxy, amido, arylcarbonyl, heteroarylcarbonyl, hydroxymethyl

-CHO, -CO₂R_{VII-7}, wherein R_{VII-7} is selected from the group consisting of hydrogen, alkyl and cyanoalkyl; and

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arylheteroarylamino, trialkylsilyl, trialkenylsilyl, triarylsilyl,

-CO(O)N(R_{VII-8a}R_{VII-8b}), wherein R_{VII-8a} and R_{VII-8b} are independently selected from the group consisting of alkyl,

5 alkenyl, alkynyl, aryl, heteroaryl and heterocyclyl,

-SO₂R_{VII-9}, wherein R_{VII-9} is selected from the group

consisting of hydroxy, alkyl, alkenyl, alkynyl, aryl,

heteroaryl and heterocyclyl, -OP(O)(OR_{VII-10a})(OR_{VII-10b}),

wherein R_{VII-10a} and R_{VII-10b} are independently selected from

10 the group consisting of hydrogen, hydroxy, alkyl, alkenyl,

alkynyl, aryl, heteroaryl and heterocyclyl, and -OP(S)

(OR_{VII-11a})(OR_{VII-11b}), wherein R_{VII-11a} and R_{VII-11b} are

independently selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heteroaryl and heterocyclyl;

15 R_{VII-5} is selected from the group consisting of

hydrogen, hydroxy, halogen, alkyl, alkenyl, alkynyl,

cycloalkyl, cycloalkenyl, haloalkyl, haloalkenyl,

haloalkynyl, aryl, heteroaryl, heterocyclyl, alkoxy,

alkenoxy, alkynoxy, aryloxy, heteroaryloxy,

20 heterocycliloxy, alkylcarbonyloxyalkyl,

alkenylcarbonyloxyalkyl, alkynylcarbonyloxyalkyl,

arylcarbonyloxyalkyl, heteroarylcarbonyloxyalkyl,

heterocyclylcarbonyloxyalkyl, cycloalkylalkyl,

cycloalkenylalkyl, aralkyl, heteroarylalkyl,

25 heterocyclylalkyl, cycloalkylalkenyl, cycloalkenylalkenyl,

aralkenyl, heteroarylalkenyl, heterocyclylalkenyl,

alkylthioalkyl, cycloalkylthioalkyl, alkenylthioalkyl,

alkynylthioalkyl, arylthioalkyl, heteroarylthioalkyl,

heterocyclylthioalkyl, alkylthioalkenyl,

30 alkenylthioalkenyl, alkynylthioalkenyl, arylthioalkenyl,

heteroarylthioalkenyl, heterocyclylthioalkenyl,

alkoxyalkyl, alkenoxyalkyl, alkynoxyalkyl, aryloxyalkyl,

heteroaryloxyalkyl, heterocycliloxyalkyl, alkoxyalkenyl,

alkenoxyalkenyl, alkynoxyalkenyl, aryloxyalkenyl,

35 heteroaryloxyalkenyl, heterocycliloxyalkenyl, cyano,

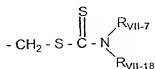
hydroxymethyl, -CO₂R_{VII-14}, wherein R_{VII-14} is selected from

the group consisting of alkyl, alkenyl, alkynyl, aryl, heteroaryl and heterocyclyl;



wherein $R_{VII-15b}$ is selected from the group consisting
 5 of hydroxy, hydrogen, halogen, alkylthio, alkenylthio, alkynylthio, arylthio, heteroarylthio, heterocyclylthio, alkoxy, alkenoxy, alkynoxy, aryloxy, heteroaryloxy, heterocycliloxy, aroyloxy, and alkylsulfonyloxy, and

$R_{VII-16b}$ is selected from the group consisting of
 10 alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, arylalkoxy, and trialkylsilyloxy;



wherein R_{VII-17} and R_{VII-18} are independently selected
 15 from the group consisting of alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl and heterocyclyl;



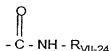
wherein R_{VII-19} is selected from the group consisting
 of alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, $-SR_{VII-20}$, $-OR_{VII-21}$, and $-R_{VII-22}CO_2R_{VII-23}$, wherein
 20 R_{VII-20} is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, aminoalkyl, aminoalkenyl, aminoalkynyl, aminoaryl,

aminoheteroaryl, aminoheterocyclyl, alkylheteroarylamino, arylheteroarylamino,

R_{VII-21} is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heteroaryl, and heterocyclyl,

5 R_{VII-22} is selected from the group consisting of alkylene or arylene, and

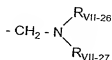
R_{VII-23} is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heteroaryl, and heterocyclyl;



10 wherein R_{VII-24} is selected from the group consisting of hydrogen, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, aralkyl, aralkenyl, and aralkynyl;

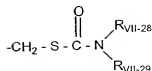


15 wherein R_{VII-25} is heterocyclylidenyl;



wherein R_{VII-26} and R_{VII-27} are independently selected from the group consisting of hydrogen, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, and heterocyclyl;





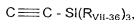
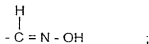
wherein $\text{R}_{\text{VII}-28}$ and $\text{R}_{\text{VII}-29}$ are independently selected from the group consisting of hydrogen, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, and heterocyclyl;



wherein $\text{R}_{\text{VII}-30}$ and $\text{R}_{\text{VII}-31}$ are independently alkoxy, alkenoxy, alkynoxy, aryloxy, heteroaryloxy, and heterocycliloxy; and



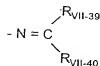
wherein $\text{R}_{\text{VII}-32}$ and $\text{R}_{\text{VII}-33}$ are independently selected from the group consisting of hydrogen, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, and heterocyclyl;



wherein R_{VII-36} is selected from the group consisting of alkyl, alkenyl, aryl, heteroaryl and heterocyclyl;



- 5 wherein R_{VII-37} and R_{VII-38} are independently selected from the group consisting of hydrogen, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, and heterocyclyl;

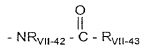


- 10 wherein R_{VII-39} is selected from the group consisting of hydrogen, alkoxy, alkenoxy, alkynoxy, aryloxy, heteroaryloxy, heterocyclyloxy, alkylthio, alkenylthio, alkynylthio, arylthio, heteroarylthio and heterocyclylthio, and

- 15 R_{VII-40} is selected from the group consisting of haloalkyl, haloalkenyl, haloalkynyl, haloaryl, haloheteroaryl, haloheterocyclyl, cycloalkyl, cycloalkenyl, heterocyclylalkoxy, heterocyclylalkenoxy, heterocyclylalkynoxy, alkylthio, alkenylthio, alkynylthio, arylthio, heteroarylthio and heterocyclylthio;

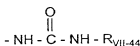


- 20 wherein R_{VII-41} is heterocyclylidenyl;

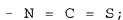


wherein R_{VII-42} is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, and heterocyclyl, and

- 5 R_{VII-43} is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, cycloalkenyl, haloalkyl, haloalkenyl, haloalkynyl, haloaryl, haloheteroaryl, and haloheterocyclyl;



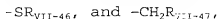
- 10 wherein R_{VII-44} is selected from the group consisting of hydrogen, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl and heterocyclyl;



- 15 - N = C = O;



- wherein R_{VII-45} is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, 20 heterocyclyl, haloalkyl, haloalkenyl, haloalkynyl, haloaryl, haloheteroaryl, haloheterocyclyl, heterocyclyl, cycloalkylalkyl, cycloalkenylalkyl, aralkyl, heteroarylalkyl, heterocyclylalkyl, cycloalkylalkenyl, cycloalkenylalkenyl, aralkenyl, heteroarylalkenyl, 25 heterocyclylalkenyl, alkylthioalkyl, alkenylthioalkyl, alkynylthioalkyl, arylthioalkyl, heteroarylthioalkyl, heterocyclylthioalkyl, alkylthioalkenyl, alkenylthioalkenyl, alkynylthioalkenyl, arylthioalkenyl, heteroarylthioalkenyl, heterocyclylthioalkenyl, 30 aminocarbonylalkyl, aminocarbonylalkenyl, aminocarbonylalkynyl, aminocarbonylaryl, aminocarbonylheteroaryl, and aminocarbonylheterocyclyl,



wherein R_{VII-46} is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heteroaryl and heterocyclyl, and

5 R_{VII-47} is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl and heterocyclyl; and



10 wherein R_{VII-48} is selected from the group consisting of hydrogen, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl and heterocyclyl, and

R_{VII-49} is selected from the group consisting of alkoxy, alkenoxy, alkynoxy, aryloxy, heteroaryloxy, heterocyclyloxy, haloalkyl, haloalkenyl, haloalkynyl, haloaryl, haloheteroaryl and haloheterocyclyl;



15 wherein R_{VII-50} is selected from the group consisting of hydrogen, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, alkoxy, alkenoxy, alkynoxy, aryloxy, heteroaryloxy and heterocyclyloxy;



20 wherein R_{VII-51} is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heteroaryl,

heterocyclyl, haloalkyl, haloalkenyl, haloalkynyl, haloaryl, haloheteroaryl and haloheterocyclyl; and



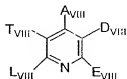
wherein $\text{R}_{\text{VII-53}}$ is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heteroaryl and heterocyclyl;

provided that when $\text{R}_{\text{VII-5}}$ is selected from the group consisting of heterocyclylalkyl and heterocyclylalkenyl, the heterocyclyl radical of the corresponding heterocyclylalkyl or heterocyclylalkenyl is other than δ -lactone; and

provided that when $\text{R}_{\text{VII-4}}$ is aryl, heteroaryl or heterocyclyl, and one of $\text{R}_{\text{VII-2}}$ and $\text{R}_{\text{VII-6}}$ is trifluoromethyl, then the other of $\text{R}_{\text{VII-2}}$ and $\text{R}_{\text{VII-6}}$ is difluoromethyl.

24. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is dimethyl 5,5'-dithiobis[2-difluoromethyl-4-(2-methylpropyl)-6-(trifluoromethyl)-3-pyridine-carboxylate].

25. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula VIII



Formula VIII

or a pharmaceutically acceptable salt, enantiomers, or stereoisomers thereof, in which

R_{VIII} stands for aryl with 6 to 10 carbon atoms, which is optionally substituted up to 3 times in an identical manner or differently by halogen, hydroxy, trifluoromethyl, trifluoromethoxy, or by straight-chain or branched alkyl, acyl, or alkoxy with up to 7 carbon atoms each, or by a group of the formula

$-NR_{VIII-1}R_{VIII-2}$, wherein

R_{VIII-1} and R_{VIII-2} are identical or different and denote hydrogen, phenyl, or straight-chain or branched alkyl with up to 6 carbon atoms,

D_{VIII} stands for straight-chain or branched alkyl with up to 8 carbon atoms, which is substituted by hydroxy,

E_{VIII} and L_{VIII} are either identical or different and stand for straight-chain or branched alkyl with up to 8 carbon atoms, which is optionally substituted by cycloalkyl with 3 to 8 carbon atoms, or stands for cycloalkyl with 3 to 8 carbon atoms, or

E_{VIII} has the above-mentioned meaning and

L_{VIII} in this case stands for aryl with 6 to 10 carbon atoms, which is optionally substituted up to 3 times in an identical manner or differently by halogen, hydroxy, trifluoromethyl, trifluoromethoxy, or by straight-chain or branched alkyl, acyl, or alkoxy with up to 7 carbon atoms each, or by a group of the formula

$-NR_{VIII-3}R_{VIII-4}$, wherein

R_{VIII-3} and R_{VIII-4} are identical or different and have the meaning given above for R_{VIII-1} and R_{VIII-2} , or

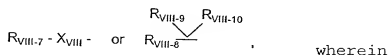
E_{VIII} stands for straight-chain or branched alkyl with up to 8 carbon atoms, or stands for aryl with 6 to 10 carbon atoms, which is optionally substituted up to 3 times in an identical manner or differently by halogen, hydroxy, trifluoromethyl, trifluoromethoxy, or by straight-chain or branched alkyl, acyl, or alkoxy with up to 7 carbon atoms each, or by a group of the formula

$-NR_{VIII-5}R_{VIII-6}$, wherein

R_{VIII-5} and R_{VIII-6} are identical or different and have the meaning given above for R_{VIII-1} and R_{VIII-2} , and

- L_{VIII} in this case stands for straight-chain or
 5 branched alkoxy with up to 8 carbon atoms or for cycloalkyloxy with 3 to 8 carbon atoms,

T_{VIII} stands for a radical of the formula



- R_{VIII-7} and R_{VIII-8} are identical or different and denote
 10 cycloalkyl with 3 to 8 carbon atoms, or aryl with 6 to 10 carbon atoms, or denote a 5- to 7-member aromatic, optionally benzo-condensed, heterocyclic compound with up to 3 heteroatoms from the series S, N and/or O, which are optionally substituted up to 3 times in an identical
 15 manner or differently by trifluoromethyl, trifluoromethoxy, halogen, hydroxy, carboxyl, by straight-chain or branched alkyl, acyl, alkoxy, or alkoxycarbonyl with up to 6 carbon atoms each, or by phenyl, phenoxy, or thiophenyl, which can in turn be substituted by halogen,
 20 trifluoromethyl, or trifluoromethoxy, and/or the rings are substituted by a group of the formula

$-NR_{VIII-11}R_{VIII-12}$, wherein

$R_{VIII-11}$ and $R_{VIII-12}$ are identical or different and have the meaning given above for R_{VIII-1} and R_{VIII-2} ,

- 25 X_{VIII} denotes a straight or branched alkyl chain or alkenyl chain with 2 to 10 carbon atoms each, which are optionally substituted up to 2 times by hydroxy,

R_{VIII-9} denotes hydrogen, and

- 30 $R_{VIII-10}$ denotes hydrogen, halogen, azido, trifluoromethyl, hydroxy, mercapto, trifluoromethoxy, straight-chain or branched alkoxy with up to 5 carbon atoms, or a radical of the formula

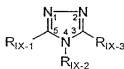
$-NR_{VIII-13}R_{VIII-14}$, wherein

$R_{VIII-13}$ and $R_{VIII-14}$ are identical or different and have the meaning given above for R_{VIII-1} and R_{VIII-2} , or

R_{VIII-9} and $R_{VIII-10}$ form a carbonyl group together with the carbon atom.

5

26. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula IX



Formula IX

10 or a pharmaceutically acceptable salt or tautomer thereof;

wherein R_{IX-1} is selected from higher alkyl, higher alkenyl, higher alkynyl, aryl, aralkyl, aryloxyalkyl, alkoxyalkyl, alkylthioalkyl, arylthioalkyl, and cycloalkylalkyl;

15 wherein R_{IX-2} is selected from aryl, heteroaryl, cycloalkyl, and cycloalkenyl, wherein R_{IX-2} is optionally substituted at a substitutable position with one or more radicals independently selected from alkyl, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, 20 alkoxy, halo, aryloxy, aralkyloxy, aryl, aralkyl, aminosulfonyl, amino, monoalkylamino and dialkylamino; and

wherein R_{IX-3} is selected from hydrido, -SH and halo; provided R_{IX-2} cannot be phenyl or 4-methylphenyl when R_{IX-1} is higher alkyl and when R_{IX-3} is -SH.

25

27. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is selected from the group consisting of

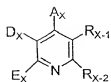
- 5 2,4-dihydro-4-(3-methoxyphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(2-fluorophenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 10 2,4-dihydro-4-(2-methylphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(3-chlorophenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 15 2,4-dihydro-4-(2-methoxyphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(3-methylphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 20 4-cyclohexyl-2,4-dihydro-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 25 2,4-dihydro-4-(3-pyridyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(2-ethoxyphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 30 2,4-dihydro-4-(2,6-dimethylphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(4-phenoxyphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 35 4-(1,3-benzodioxol-5-yl)-2,4-dihydro-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 40 4-(2-chlorophenyl)-2,4-dihydro-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(4-methoxyphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 45 2,4-dihydro-5-tridecyl-4-(3-trifluoromethylphenyl)-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-5-tridecyl-4-(3-fluorophenyl)-3H-1,2,4-triazole-3-thione,
- 50 4-(3-chloro-4-methylphenyl)-2,4-dihydro-5-tridecyl-3H-1,2,4-triazole-3-thione,

- 2,4-dihydro-4-(2-methylthiophenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 5 4-(4-benzoyloxyphenyl)-2,4-dihydro-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(2-naphthyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 10 2,4-dihydro-5-tridecyl-4-(4-trifluoromethylphenyl)-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(1-naphthyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 15 2,4-dihydro-4-(3-methylthiophenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 20 2,4-dihydro-4-(4-methylthiophenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(3,4-dimethoxyphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 25 2,4-dihydro-4-(2,5-dimethoxyphenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-4-(2-methoxy-5-chlorophenyl)-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 30 4-(4-aminosulfonylphenyl)-2,4-dihydro-5-tridecyl-3H-1,2,4-triazole-3-thione,
- 2,4-dihydro-5-dodecyl-4-(3-methoxyphenyl)-3H-1,2,4-triazole-3-thione,
- 35 2,4-dihydro-4-(3-methoxyphenyl)-5-tetradecyl-3H-1,2,4-triazole-3-thione,
- 40 2,4-dihydro-4-(3-methoxyphenyl)-5-undecyl-3H-1,2,4-triazole-3-thione, and
- 2,4-dihydro-(4-methoxyphenyl)-5-pentadecyl-3H-1,2,4-triazole-3-thione.

45

28. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula X

50



Formula X

and pharmaceutically acceptable salts, enantiomers, or stereoisomers or N-oxides of said compounds; in which

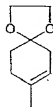
A_X represents cycloalkyl with 3 to 8 carbon atoms or a 5 to 7-membered, saturated, partially saturated or unsaturated, optionally benzo-condensed heterocyclic ring containing up to 3 heteroatoms from the series comprising S, N and/or O, that in case of a saturated heterocyclic ring is bonded to a nitrogen function, optionally bridged over it, and in which the aromatic systems mentioned above are optionally substituted up to 5-times in an identical or different substituents in the form of halogen, nitro, hydroxy, trifluoromethyl, trifluoromethoxy or by a straight-chain or branched alkyl, acyl, hydroxyalkyl or alkoxy each having up to 7 carbon atoms or by a group of the formula $-NR_{X-3}R_{X-4}$,

in which

R_{X-3} and R_{X-4} are identical or different and denote hydrogen, phenyl or straight-chain or branched alkyl having up to 6 carbon atoms,

or

A_X represents a radical of the formula



D_x represents an aryl having 6 to 10 carbon atoms, that is optionally substituted by phenyl, nitro, halogen, trifluormethyl or trifluoromethoxy or it represents a radical of the formula



in which

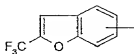
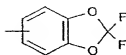
R_{X-5} , R_{X-6} and R_{X-9} independently of one another denote cycloalkyl having 3 to 6 carbon atoms, or an aryl having 6 to 10 carbon atoms or a 5- to 7-membered aromatic, optionally benzo-condensed saturated or unsaturated, mono-, bi-, or tricyclic heterocyclic ring from the series consisting of S, N and/or O, in which the rings are substituted, optionally, in case of the nitrogen containing aromatic rings via the N function, with up to 5 identical or different substituents in the form of halogen, trifluoromethyl, nitro, hydroxy, cyano, carbonyl, trifluoromethoxy, straight straight-chain or branched acyl, alkyl, alkylthio, alkylalkoxy, alkoxy, or alkoxy carbonyl each having up to 6 carbon atoms, by aryl or trifluoromethyl-substituted aryl each having 6 to 10 carbon atoms or by an, optionally benzo-condensed, aromatic 5- to 7-membered heterocyclic ring having up to 3 heteroatoms from the series consisting of S, N, and/or O, and/or substituted by a group of the formula $-OR_{X-10}$, $-SR_{X-11}$, SO_2R_{X-12} or $-NR_{X-13}R_{X-14}$, in which

R_{X-10} , R_{X-11} and R_{X-12} independently from each other denote aryl having 6 to 10 carbon atoms, which is in turn substituted with up to 2 identical or different substituents in the form of phenyl, halogen or a straight-chain or branched alkyl having up to 6 carbon atoms,

R_{X-13} and R_{X-14} are identical or different and have the meaning of R_{X-3} and R_{X-4} indicated above,

or

R_{X-5} and/or R_{X-6} denote a radical of the formula



or

5 R_{X-7} denotes hydrogen or halogen, and

R_{X-8} denotes hydrogen, halogen, azido, trifluoromethyl, hydroxy, trifluoromethoxy, straight-chain or branched alkoxy or alkyl having up to 6 carbon atoms or a radical of the formula

10 $-NR_{X-15}R_{X-16}$,

in which

R_{X-15} and R_{X-16} are identical or different and have the meaning of R_{X-3} and R_{X-4} indicated above,

or

15 R_{X-7} and R_{X-8} together form a radical of the formula $=O$

or $=NR_{X-17}$,

in which

R_{X-17} denotes hydrogen or straight chain or branched alkyl, alkoxy or acyl having up to 6 carbon atoms,

20 L_X denotes a straight chain or branched alkylene or alkenylene chain having up to 8 carbon atoms, that are optionally substituted with up to 2 hydroxy groups,

T_X and X_X are identical or different and denote a straight chain or branched alkylene chain with up to 8 carbon atoms

25

or

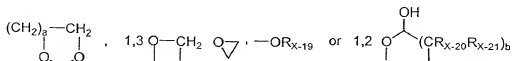
T_X or X_X denotes a bond,

V_X represents an oxygen or sulfur atom or an $-NR_{X-18}-$ group, in which

30 R_{X-18} denotes hydrogen or straight chain or branched alkyl with up to 6 carbon atoms or phenyl,

E_X represents cycloalkyl with 3 to 8 carbon atoms, or straight chain or branched alkyl with up to 8 carbon atoms, that is optionally substituted by cycloalkyl with 3 to 8 carbon atoms or hydroxy, or represents a phenyl, that is optionally substituted by halogen or trifluoromethyl,

R_{X-1} and R_{X-2} together form a straight-chain or branched alkylene chain with up to 7 carbon atoms, that must be substituted by carbonyl group and/or by a radical with the formula



in which a and b are identical or different and denote a number equaling 1,2, or 3,

R_{X-19} denotes hydrogen, cycloalkyl with 3 up to 7 carbon atoms, straight chain or branched silylalkyl with up to 8 carbon atoms or straight chain or branched alkyl with up to 8 carbon atoms, that are optionally substituted by hydroxyl, straight chain or branched alkoxy with up to 6 carbon atoms or by phenyl, which in turn might be substituted by halogen, nitro, trifluoromethyl, trifluoromethoxy or by phenyl or by tetrazole-substituted phenyl, and alkyl, optionally be substituted by a group with the formula ---OR_{X-22} ,

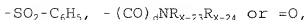
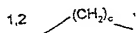
in which

R_{X-22} denotes a straight chain or branched acyl with up to 4 carbon atoms or benzyl, or

R_{X-19} denotes straight chain or branched acyl with up to 20 carbon atoms or benzoyl, that is optionally substituted by halogen, trifluoromethyl, nitro or trifluoromethoxy, or it denotes straight chain or branched fluoroacyl with up to 8 carbon atoms and 9 fluorine atoms,

R_{X-20} and R_{X-21} are identical or different and denote hydrogen, phenyl or straight chain or branched alkyl with up to 6 carbon atoms, or

R_{X-20} and R_{X-21} together form a 3- to 6- membered carbocyclic ring, and the carbocyclic rings formed are optionally substituted, optionally also geminally, with up to six identical or different substituents in the form of trifluoromethyl, hydroxy, nitrile, halogen, carboxyl, nitro, azido, cyano, cycloalkyl or cycloalkyloxy with 3 to 7 carbon atoms each, by straight chain or branched alkoxy carbonyl, alkoxy or alkylthio with up to 6 carbon atoms each or by straight chain or branched alkyl with up to 6 carbon atoms, which in turn is substituted with up to 2 identically or differently by hydroxyl, benzyloxy, trifluoromethyl, benzoyl, straight chain or branched alkoxy, oxyacyl or carbonyl with up to 4 carbon atoms each and/or phenyl, which may in turn be substituted with a halogen, trifluoromethyl or trifluoromethoxy, and/or the formed carbocyclic rings are optionally substituted, also geminally, with up to 5 identical or different substituents in the form of phenyl, benzoyl, thiophenyl or sulfonylbenzyl, which in turn are optionally substituted by halogen, trifluoromethyl, trifluoromethoxy or nitro, and/or optionally are substituted by a radical with the formula



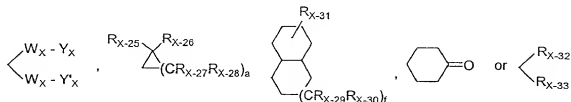
in which

c denotes a number equaling 1, 2, 3, or 4,

d denotes a number equaling 0 or 1,

R_{X-23} and R_{X-24} are identical or different and denote hydrogen, cycloalkyl with 3 to 6 carbon atoms, straight chain or branched alkyl with up to 6 carbon atoms, benzyl or phenyl, that is optionally substituted with up to 2

identically or differently by halogen, trifluoromethyl, cyano, phenyl or nitro, and/or the formed carbocyclic rings are substituted optionally by a spiro-linked radical with the formula



5

in which

W_x denotes either an oxygen or a sulfur atom

Y_x and Y'_x together form a 2 to 6 membered straight chain or branched alkylene chain,

10 e denotes a number equaling 1, 2, 3, 4, 5, 6, or 7,

f denotes a number equaling 1 or 2,

R_{X-25} , R_{X-26} , R_{X-27} , R_{X-28} , R_{X-29} , R_{X-30} and R_{X-31} are identical or different and denote hydrogen, trifluoromethyl, phenyl, halogen or straight chain or
15 branched alkyl or alkoxy with up to 6 carbon atoms each, or

R_{X-25} and R_{X-26} or R_{X-27} and R_{X-28} respectively form together a straight chain or branched alkyl chain with up to 6 carbon atoms,

20 or

R_{X-25} and R_{X-26} or R_{X-27} and R_{X-28} each together form a radical with the formula



in which

25 W_x has the meaning given above,

g denotes a number equaling 1, 2, 3, 4, 5, 6, or 7,

R_{X-32} and R_{X-33} form together a 3- to 7- membered heterocycle, which contains an oxygen or sulfur atom or a group with the formula SO , SO_2 or $-NR_{X-34}$, in which

R_{X-34} denotes hydrogen, phenyl, benzyl or straight or branched alkyl with up to 4 carbon atoms.

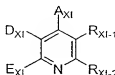
29. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is selected from the group consisting of

2-cyclopentyl-5-hydroxy-7,7-dimethyl-4-(3-thienyl)-3-(4-trifluoromethylbenzoyl)-5,6,7,8-tetrahydroquinolin,

2-cyclopentyl-3-[fluoro-(4-trifluoromethylphenyl)methyl]-5-hydroxy-7,7-dimethyl-4-(3-thienyl)-5,6,7,8-tetrahydroquinoline, and

2-cyclopentyl-5-hydroxy-7,7-dimethyl-4-(3-thienyl)-3-(trifluoromethylbenzyl)-5,6,7,8-tetrahydroquinoline.

30. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula XI

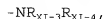


Formula XI

and stereoisomers, stereoisomer mixtures, and salts thereof, in which

A_{XI} stands for cycloalkyl with 3 to 8 carbon atoms, or stands for aryl with 6 to 10 carbon atoms, or stands for a 5- to 7-membered, saturated, partially unsaturated or unsaturated, possibly benzocondensated, heterocycle with up to 4 heteroatoms from the series S, N and/or O, where aryl and the heterocyclic ring systems mentioned

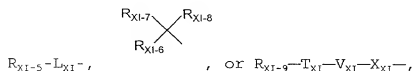
above are substituted up to 5-fold, identical or different, by cyano, halogen, nitro, carboxyl, hydroxy, trifluoromethyl, trifluoro- methoxy, or by straight-chain or branched alkyl, acyl, hydroxyalkyl, alkylthio, alkoxy, carbonyl, oxyalkoxy, carbonyl or alkoxy each with up to 7 carbon atoms, or by a group of the formula



in which

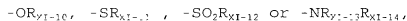
R_{XI-3} and R_{XI-4} are identical or different and denote hydrogen, phenyl, or straight-chain or branched alkyl with up to 6 carbon atoms

D_{XI} stands for a radical of the formula



in which

R_{XI-5} , R_{XI-6} and R_{XI-9} , independent of each other, denote cycloalkyl with 3 to 6 carbon atoms, or denote aryl with 6 to 10 carbon atoms, or denote a 5- to 7-membered, possibly benzocondensated, saturated or unsaturated, mono-, bi- or tricyclic heterocycle with up to 4 heteroatoms of the series S, N and/or O, where the cycles are possibly substituted—in the case of the nitrogen-containing rings also via the N-function—up to 5-fold, identical or different, by halogen, trifluoromethyl, nitro, hydroxy, cyano, carboxyl, trifluoromethoxy, straight-chain or branched acyl, alkyl, alkylthio, alkylalkoxy, alkoxy or alkoxy, carbonyl with up to 6 carbon atoms each. by aryl or trifluoromethyl substituted aryl with 6 to 10 carbon atoms each, or by a possibly benzocondensated aromatic 5- to 7-membered heterocycle with up to 3 heteroatoms of the series S, N and/or O, and/or are substituted by a group of the formula



in which

R_{XI-10} , R_{XI-11} and R_{XI-12} , independent of each other, denote aryl with 6 to 10 carbon atoms, which itself is substituted up to 2-fold, identical or different, by phenyl, halogen. or by straight-chain or branched alkyl
5 with up to 6 carbon atoms,

R_{XI-13} and R_{XI-14} are identical or different and have the meaning given above for R_{XI-3} and R_{XI-4} ,

or

R_{XI-5} and/or R_{XI-6} denote a radical of the formula



R_{XI-7} denotes hydrogen, halogen or methyl,
and

R_{XI-8} denotes hydrogen, halogen, azido, trifluoromethyl, hydroxy, trifluoromethoxy, straight-chain
15 or branched alkoxy or alkyl with up to 6 carbon atoms each, or a radical of the formula $-NR_{XI-15}R_{XI-16}$, in which

R_{XI-15} and R_{XI-16} are identical or different and have the meaning given above for R_{XI-3} and R_{XI-4} ,

or

R_{XI-7} and R_{XI-8} together form a radical of the formula $=O$ or $=NR_{XI-17}$, in which

R_{XI-17} denotes hydrogen or straight-chain or branched alkyl, alkoxy or acyl with up to 6 carbon atoms each,

25 L_{XI} denotes a straight-chain or branched alkylene- or alkenylene chain with up to 8 carbon atoms each, which is possibly substituted up to 2-fold by hydroxy,

T_{XI} and X_{XI} are identical or different and denote a straight-chain or branched alkylene chain with up to 8
30 carbon atoms,

or

T_{XI} and X_{XI} denotes a bond,

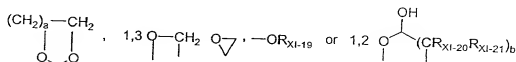
V_{XI} stands for an oxygen- or sulfur atom or for an -
 NR_{XI-18} group,

in which

R_{XI-18} denotes hydrogen or straight-chain or branched alkyl with up to 6 carbon atoms, or phenyl,

E_{XI} stands for cycloalkyl with 3 to 8 carbon atoms, or stands for straight-chain or branched alkyl with up to 8 carbon atoms, which is possibly substituted by cycloalkyl with 3 to 8 carbon atoms or hydroxy, or stands for phenyl, which is possibly substituted by halogen or trifluoromethyl,

R_{XI-1} and R_{XI-2} together form a straight-chain or branched alkylene chain with up to 7 carbon atoms, which must be substituted by a carbonyl group and/or by a radical of the formula



in which

a and b are identical or different and denote a number 1, 2 or 3

R_{XI-19} denotes hydrogen, cycloalkyl with 3 to 7 carbon atoms, straight-chain or branched silylalkyl with up to 8 carbon atoms, or straight-chain or branched alkyl with up to 8 carbon atoms, which is possibly substituted by hydroxy, straight-chain or branched alkoxy with up to 6 carbon atoms, or by phenyl, which itself can be substituted by halogen, nitro, trifluoromethyl, trifluoromethoxy or by phenyl substituted by phenyl or tetrazol, and alkyl is possibly substituted by a group of the formula $-OR_{XI-22}$,
in which

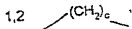
R_{XI-22} denotes straight-chain or branched acyl with up to 4 carbon atoms, or benzyl,
or

R_{XI-19} denotes straight-chain or branched acyl with up to 20 carbon atoms or benzoyl, which is possibly

substituted by halogen, trifluoromethyl, nitro or trifluoromethoxy, or denotes straight-chain or branched fluoroacyl with up to 8 carbon atoms and 9 fluorine atoms,

- R_{X1-20} and R_{X1-21} are identical or different, denoting
 5 hydrogen, phenyl or straight-chain or branched alkyl with up to 6 carbon atoms,
 or

- R_{X1-20} and R_{X1-21} together form a 3- to 6-membered carbocycle, and, possibly also geminally, the alkylene chain formed by R_{X1-1} and R_{X1-2} , is possibly substituted up
 10 to 6-fold, identical or different, by trifluoromethyl, hydroxy, nitrile, halogen, carboxyl, nitro, azido, cyano, cycloalkyl or cycloalkyloxy with 3 to 7 carbon atoms each, by straight-chain or branched alkoxycarbonyl, alkoxy or
 15 alkoxythio with up to 6 carbon atoms each, or by straight-chain or branched alkyl with up to 6 carbon atoms, which itself is substituted up to 2-fold, identical or different. by hydroxyl, benzyloxy, trifluoromethyl, benzoyl, straight-chain or branched
 20 alkoxy, oxyacyl or carboxyl with up to 4 carbon atoms each, and/or phenyl- which itself can be substituted by halogen, trifluoromethyl or trifluoromethoxy , and/or the alkylene chain formed by R_{X1-1} and R_{X1-2} is substituted, also geminally, possibly up to 5-fold,
 25 identical or different, by phenyl, benzoyl, thiophenyl or sulfobenzyl -which themselves are possibly substituted by halogen, trifluoromethyl, trifluoromethoxy or nitro, and/or the alkylene chain formed by R_{X1-1} and R_{X1-2} is possibly substituted by a radical of the formula



30



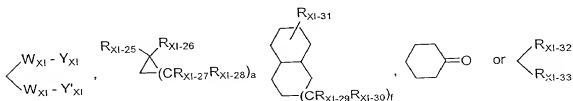
in which

c denotes a number 1, 2, 3 or 4,

d denotes a number 0 or 1,

R_{X1-23} and R_{X1-24} are identical or different and denote hydrogen, cycloalkyl with 3 to 6 carbon atoms, straight-chain or branched alkyl with up to 6 carbon atoms, benzyl or phenyl, which is possibly substituted up to 2-fold.

identical or different, by halogen, trifluoromethyl; cyano, phenyl or nitro, and/or the alkylene chain formed by R_{X1-1} and R_{X1-2} is possibly substituted by a spiro-jointed radical of the formula



in which

W_{X1} denotes either an oxygen or a sulfur atom,

Y_{X1} and Y'_{X1} together form a 2- to 6-membered straight-chain or branched alkylene chain,

e is a number 1, 2, 3, 4, 5, 6 or 7,

f denotes a number 1 or 2,

R_{X1-25} , R_{X1-26} , R_{X1-27} , R_{X1-28} , R_{X1-29} , R_{X1-30} and R_{X1-31} are

identical or different and denote hydrogen,

trifluoromethyl, phenyl, halogen, or straight-chain or

branched alkyl or alkoxy with up to 6 carbon atoms each,

or

R_{X1-25} and R_{X1-26} or R_{X1-27} and R_{X1-28} together form a straight-chain or branched alkyl chain with up to 6 carbon atoms,

or

R_{X1-25} and R_{X1-26} or R_{X1-27} and R_{X1-28} together form a radical of the formula



in which

W_{XI} has the meaning given above,

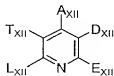
g is a number 1, 2, 3, 4, 5, 6 or 7,

- 5 R_{XI-32} and R_{XI-33} together form a 3- to 7-membered heterocycle that contains an oxygen- or sulfur atom or a group of the formula SO , SO_2 or $-NR_{XI-34}$, in which

- 10 R_{XI-34} denotes hydrogen, phenyl, benzyl, or straight-chain or branched alkyl with up to 4 carbon atoms.

31. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has the structure of Formula XII

15



Formula XII

or pharmaceutically acceptable salts, enantiomers, or stereoisomers of said compounds, in which

- 20 A_{XII} and E_{XII} are identical or different and stand for aryl with 6 to 10 carbon atoms which is possibly substituted, up to 5-fold identical or different, by halogen, hydroxy, trifluoromethyl, trifluoromethoxy, nitro or by straight-chain or branched alkyl, acyl, hydroxy
- 25 alkyl or alkoxy with up to 7 carbon atoms each, or by a group of the formula $-NR_{XII-1}R_{XII-2}$,

where

R_{XII-1} and R_{XII-2} are identical or different and are meant to be hydrogen, phenyl or straight-chain or branched alkyl with up to 6 carbon atoms,

5 D_{XII} stands for straight-chain or branched alkyl with up to 8 carbon atoms, which is substituted by hydroxy,

L_{XII} stands for cycloalkyl with 3 to 8 carbon atoms or for straight-chain or branched alkyl with up to 8 carbon atoms, which is possibly substituted by cycloalkyl with 3
10 to 8 carbon atoms, or by hydroxy,

T_{XII} stands for a radical of the formula $R_{XII-3}-X_{XII}-$ or



where

R_{XII-3} and R_{XII-4} are identical or different and are
15 meant to be cycloalkyl with 3 to 8 carbon atoms, or aryl with 6 to 10 carbon atoms, or a 5- to 7-membered aromatic, possibly benzocondensated heterocycle with up to 3 heteroatoms from the series S, N and/or O, which are possibly substituted. up to 3-fold identical or different,
20 by trifluoromethyl, trifluoromethoxy, halogen, hydroxy, carboxyl, nitro, by straight-chain or branched alkyl, acyl, alkoxy or alkoxycarbonyl with up to 6 carbon atoms each. or by phenyl, phenoxy or phenylthio which in turn can be substituted by halogen. trifluoromethyl or
25 trifluoromethoxy, and/or where the cycles are possibly substituted by a group of the formula $-NR_{XII-7}R_{XII-8}$,

where

R_{XII-7} and R_{XII-8} are identical or different and have the meaning of R_{XII-1} and R_{XII-2} given above,

30 X_{XII} is a straight-chain or branched alkyl or alkenyl with 2 to 10 carbon atoms each, possibly substituted up to 2-fold by hydroxy or halogen,

R_{XII-5} stands for hydrogen,

and

R_{XII-6} means to be hydrogen, halogen, mercapto, azido, trifluoromethyl, hydroxy, trifluoromethoxy, straight-chain or branched alkoxy with up to 5 carbon atoms, or a radical of the formula $-NR_{XII-9}R_{XII-10}$,

5 where

R_{XII-9} and R_{XII-10} are identical or different and have the meaning of R_{XII-1} and R_{XII-2} given above, or

10 R_{XII-5} and R_{XII-6} , together with the carbon atom, form a carbonyl group.

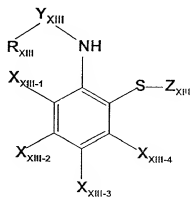
32. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is selected from the group consisting of

15 4,6-bis-(p-fluorophenyl)-2-isopropyl-3-[(p-trifluoromethylphenyl)-(fluoro)-methyl]-5-(1-hydroxyethyl)pyridine,

20 2,4-bis-(4-fluorophenyl)-6-isopropyl-5-[4-(trifluoromethylphenyl)-fluoromethyl]-3-hydroxymethylpyridine, and

25 2,4-bis-(4-fluorophenyl)-6-isopropyl-5-[2-(3-trifluoromethylphenyl)vinyl]-3-hydroxymethylpyridine.

33. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor
30 has the structure of Formula XIII,



Formula XIII

or pharmaceutically acceptable salts, enantiomers, stereoisomers, hydrates, or solvates of said compounds, in which

R_{XIII} is a straight chain or branched C_{1-10} alkyl;
 5 straight chain or branched C_{2-10} alkenyl; halogenated C_{1-4} lower alkyl; C_{3-10} cycloalkyl that may be substituted; C_{5-8} cycloalkenyl that may be substituted; C_{3-10} cycloalkyl C_{1-10} alkyl that may be substituted; aryl that may be substituted; aralkyl that may be substituted; or a 5- or
 10 6-membered heterocyclic group having 1 to 3 nitrogen atoms, oxygen atoms or sulfur atoms that may be substituted,

X_{XIII-1} , X_{XIII-2} , X_{XIII-3} , X_{XIII-4} may be the same or different and are a hydrogen atom; halogen atom; C_{1-4} lower
 15 alkyl; halogenated C_{1-4} lower alkyl; C_{1-4} lower alkoxy; cyano group; nitro group; acyl; or aryl, respectively;

Y_{XIII} is $-CO-$; or $-SO_2-$; and

Z_{XIII} is a hydrogen atom; or mercapto protective group.

34. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor is selected from the group consisting of

25 N,N' -(dithiodi-2,1-phenylene)bis[2,2-dimethylpropanamide],

N,N' -(dithiodi-2,1-phenylene)bis[1-methylcyclohexanecarboxamide],

30 N,N' -(dithiodi-2,1-phenylene)bis[1-(3-methylbutyl)cyclohexanecarboxamide],

35 N,N' -(dithiodi-2,1-phenylene)bis[1-(3-methylbutyl)cyclohexanecarboxamide],

N,N' -(dithiodi-2,1-phenylene)bis[1-(2-ethylbutyl)cyclohexanecarboxamide],

40 N,N' -(dithiodi-2,1-phenylene)bis-tricyclo[3.3.1.1^{4,7}]decane-1-carboxamide,

propanethioic acid, 2-methyl-, S-[2[[[1-(2-ethylbutyl)cyclohexyl]carbonyl]amino]phenyl] ester,

propanethioic acid, 2,2-dimethyl-, S-[2-[[[1-(2-ethylbutyl)cyclohexyl]carbonyl]amino]phenyl] ester, and
ethanethioic acid, S-[2-[[[1-(2-ethylbutyl)cyclohexyl]carbonyl]amino]phenyl] ester.

35. The composition of any one of claims 1 and 3-4 wherein said cholesteryl ester transfer protein inhibitor has a solubility in aqueous solution in the absence of said concentration-enhancing polymer of less than 10 $\mu\text{g/ml}$ at any pH of from 1 to 8.

36. The composition of claim 35 wherein said cholesteryl ester transfer protein inhibitor has an aqueous solubility of less than 2 $\mu\text{g/ml}$.

37. The composition of claim 2 wherein said cholesteryl ester transfer protein inhibitor has an aqueous solubility of less than 2 $\mu\text{g/ml}$.

38. The composition of claim 36 wherein said solubility is less than 0.5 $\mu\text{g/ml}$.

39. The composition of claim 37 wherein said solubility is less than 0.5 $\mu\text{g/ml}$.

40. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has a dose-to-aqueous-solubility ratio of at least 1,000 ml.

41. The composition of claim 40 wherein said dose-to-aqueous solubility ratio is at least 5,000 ml.

42. The composition of claim 41 wherein said dose-to-aqueous solubility ratio is at least 10,000 ml.

43. The composition of any one of claims 1-4 wherein said cholesteryl ester transfer protein inhibitor has a Clog P of greater than 4.

5 44. The composition of claim 43 wherein said Clog P of said cholesteryl ester transfer protein inhibitor is greater than 5.

10 45. The composition of claim 44 wherein said Clog P of said cholesteryl ester transfer protein inhibitor is greater than 5.5.

15 46. The composition of any one of claims 1-4 wherein said concentration-enhancing polymer comprises a blend of polymers.

20 47. The composition of any one of claims 1-4 wherein said concentration-enhancing polymer has at least one hydrophobic portion and at least one hydrophilic portion.

25 48. The composition of any one of claims 1-4 wherein said concentration-enhancing polymer is an ionizable polymer.

30 49. The composition of any one of claims 1-4 wherein said concentration-enhancing polymer is selected from the group consisting of ionizable cellulosic polymers, nonionizable cellulosic polymers, and vinyl polymers and copolymers having substituents selected from the group consisting of hydroxyl, alkylacyloxy, and cyclicamido.

35 50. The composition of any one of claims 1-4 wherein said concentration-enhancing polymer is a cellulosic polymer.

51. The composition of claim 50 wherein said concentration-enhancing polymer is selected from the group consisting of hydroxypropyl methyl cellulose acetate, hydroxypropyl methyl cellulose, hydroxypropyl cellulose, methyl cellulose, hydroxyethyl methyl cellulose, hydroxyethyl cellulose acetate, and hydroxyethyl ethyl cellulose.

52. The composition of claim 50 wherein said concentration-enhancing polymer is selected from the group consisting of hydroxypropyl methyl cellulose acetate succinate, hydroxypropyl methyl cellulose succinate, hydroxypropyl cellulose acetate succinate, hydroxyethyl methyl cellulose succinate, hydroxyethyl cellulose acetate succinate, hydroxypropyl methyl cellulose phthalate, hydroxyethyl methyl cellulose acetate succinate, hydroxyethyl methyl cellulose acetate phthalate, carboxyethyl cellulose, carboxymethyl cellulose, cellulose acetate phthalate, methyl cellulose acetate phthalate, ethyl cellulose acetate phthalate, hydroxypropyl cellulose acetate phthalate, hydroxypropyl cellulose acetate phthalate succinate, hydroxypropyl methyl cellulose acetate succinate phthalate, hydroxypropyl methyl cellulose succinate phthalate, cellulose propionate phthalate, hydroxypropyl cellulose butyrate phthalate, cellulose acetate trimellitate, methyl cellulose acetate trimellitate, ethyl cellulose acetate trimellitate, hydroxypropyl cellulose acetate trimellitate, hydroxypropyl methyl cellulose acetate trimellitate, hydroxypropyl cellulose acetate trimellitate succinate, cellulose propionate trimellitate, cellulose butyrate trimellitate, cellulose acetate terephthalate, cellulose acetate isophthalate, cellulose acetate pyridinedicarboxylate, salicylic acid cellulose acetate, hydroxypropyl salicylic acid cellulose acetate, ethylbenzoic acid cellulose acetate, hydroxypropyl

ethylbenzoic acid cellulose acetate, ethyl phthalic acid cellulose acetate, ethyl nicotinic acid cellulose acetate, and ethyl picolinic acid cellulose acetate.

- 5 53. The composition of claim 50 wherein said concentration-enhancing polymer is selected from the group consisting of cellulose acetate phthalate, methyl cellulose acetate phthalate, ethyl cellulose acetate phthalate, hydroxypropyl cellulose acetate phthalate, 10 hydroxypropyl methyl cellulose phthalate, hydroxypropyl methyl cellulose acetate phthalate, hydroxypropyl cellulose acetate phthalate succinate, cellulose propionate phthalate, hydroxypropyl cellulose butyrate phthalate, cellulose acetate trimellitate, methyl 15 cellulose acetate trimellitate, ethyl cellulose acetate trimellitate, hydroxypropyl cellulose acetate trimellitate, hydroxypropyl methyl cellulose acetate trimellitate, hydroxypropyl cellulose acetate trimellitate succinate, cellulose propionate trimellitate, cellulose 20 butyrate trimellitate, cellulose acetate terephthalate, cellulose acetate isophthalate, cellulose acetate pyridinedicarboxylate, salicylic acid cellulose acetate, hydroxypropyl salicylic acid cellulose acetate, ethylbenzoic acid cellulose acetate, hydroxypropyl 25 ethylbenzoic acid cellulose acetate, ethyl phthalic acid cellulose acetate, ethyl nicotinic acid cellulose acetate, and ethyl picolinic acid cellulose acetate.

54. The composition of claim 50 wherein said 30 concentration-enhancing polymer is selected from the group consisting of hydroxypropyl methyl cellulose acetate succinate, cellulose acetate phthalate, hydroxypropyl methyl cellulose phthalate, methyl cellulose acetate phthalate, cellulose acetate trimellitate, hydroxypropyl 35 cellulose acetate phthalate, cellulose acetate terephthalate and cellulose acetate isophthalate.

55. The composition of claim 54 wherein said concentration-enhancing polymer is selected from the group consisting of hydroxypropyl methyl cellulose acetate succinate, hydroxypropyl methyl cellulose phthalate, cellulose acetate phthalate, and cellulose acetate trimellitate.

56. The composition of any one of claims 1-2 and 4 wherein said concentration-enhancing polymer is present in an amount sufficient to permit said composition to provide a maximum concentration of said cholesteryl ester transfer protein inhibitor in a use environment that is at least 10-fold that of a control composition comprising an equivalent quantity of said cholesteryl ester transfer protein inhibitor and free from said concentration-enhancing polymer.

57. The composition of claim 56 wherein said maximum concentration of said cholesteryl ester transfer protein inhibitor in said use environment is at least 50-fold that of said control composition.

58. The composition of claim 57 wherein said maximum concentration of said cholesteryl ester transfer protein inhibitor in said use environment is at least 200-fold that of said control composition.

59. The composition of claim 58 wherein said maximum concentration of said cholesteryl ester transfer protein inhibitor in said use environment is at least 1,000-fold that of said control composition.

60. The composition of claim 3 wherein said maximum concentration of said cholesteryl ester transfer protein inhibitor in said use environment is at least 50-fold that of said control composition.

61. The composition of claim 60 wherein said maximum concentration of said cholesteryl ester transfer protein inhibitor in said use environment is at least 200-fold that of said control composition.

62. The composition of claim 61 wherein said maximum concentration of said cholesteryl ester transfer protein inhibitor in said use environment is at least 1,000-fold that of said control composition.

63. The composition of any one of claims 1-4 wherein said composition provides in a use environment an area under the concentration versus time curve for any period of at least 90 minutes between the time of introduction into the use environment and about 270 minutes following introduction to the use environment that is at least about 5-fold that of a control composition comprising an equivalent quantity of said cholesteryl ester transfer protein inhibitor and free from said concentration-enhancing polymer.

64. The composition of claim 63 wherein said composition provides in a use environment an area under the concentration versus time curve for any period of at least 90 minutes between the time of introduction into the use environment and about 270 minutes following introduction to the use environment that is at least 25-fold that of said control composition.

65. The composition of claim 64 wherein said composition provides in said use environment an area under the concentration versus time curve for any period of at least 90 minutes between the time of introduction into the use environment and about 270 minutes following introduction to the use environment that is at least 100-fold that of said control composition.

66. The composition of claim 65 wherein said composition provides in said use environment an area under the concentration versus time curve for any period of at least 90 minutes between the time of introduction into the use environment and about 270 minutes following introduction to the use environment that is at least about 250-fold that of said control composition.

67. The composition of any one of claims 1-3 wherein said composition provides a relative bioavailability that is at least 4 relative to a control composition comprising an equivalent quantity of said cholesteryl ester transfer protein inhibitor and free from said concentration-enhancing polymer.

68. The composition of claim 67 wherein said relative bioavailability is at least 6 relative to said control composition.

69. The composition of claim 68 wherein said relative bioavailability is at least 10 relative to said control composition.

70. The composition of claim 69 wherein said relative bioavailability is at least 20 relative to said control composition.

71. The composition of claim 4 wherein said relative bioavailability is at least 6 relative to said control composition.

72. The composition of claim 4 wherein said relative bioavailability is at least 10 relative to said control composition.

73. The composition of claim 4 wherein said relative bioavailability is at least 20 relative to said control composition.

5 74. The composition of claim 3 wherein said use environment is *in vitro*.

75. The composition of claim 3 wherein said use environment is *in vivo*.

10

76. The composition of claim 75 wherein said use environment is the gastrointestinal tract of an animal.

15

77. The composition of claim 76 wherein said animal is a human.

78. The composition of claim 56 wherein said use environment is *in vitro*.

20

79. The composition of claim 56 wherein said use environment is *in vivo*.

80. The composition of claim 79 wherein said use environment is the gastrointestinal tract of an animal.

25

81. The composition of claim 80 wherein said animal is a human.

30

82. The composition of claim 63 wherein said use environment is *in vitro*.

83. The composition of claim 63 wherein said use environment is *in vivo*.

35

84. The composition of claim 83 wherein said use environment is the gastrointestinal tract of an animal.

5 85. The composition of claim 84 wherein said animal is a human.

86. The composition of any one of claims 1-4 wherein said composition is formed by solvent processing.

10 87. The composition of claim 86 wherein said solvent processing is spray-drying.

88. A method for treating atherosclerosis,
15 peripheral vascular disease, dyslipidemia, hyperbetalipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, familial-hypercholesterolemia, cardiovascular disorders, angina, ischemia, cardiac ischemia, stroke, myocardial infarction,
20 reperfusion injury, angioplastic restenosis, hypertension, vascular complications of diabetes, obesity or endotoxemia in a mammal (including a human being either male or female) by administering to a mammal in need of such treatment an atherosclerosis, peripheral vascular disease,
25 dyslipidemia, hyperbetalipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, familial-hypercholesterolemia, cardiovascular disorders, angina, ischemia, cardiac ischemia, stroke, myocardial infarction, reperfusion
30 injury, angioplastic restenosis, hypertension, vascular complications of diabetes, obesity or endotoxemia treating amount of a composition of any one of claims 1-4.

89. A method as recited in claim 100 wherein
35 atherosclerosis is treated.

91. A method as recited in claim 100 wherein
5 dyslipidemia is treated.

10 93. A method as recited in claim 100 wherein
hypoalphalipoproteinemia is treated.

15

96. A method as recited in claim 100 wherein cardiovascular disorders are treated.